### **Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

## **Listing of Claims**:

1. (Previously presented) A compound of Formula (I)

$$A \xrightarrow{B} N \xrightarrow{X} R^{2}$$

$$A \xrightarrow{B} N \xrightarrow{X} G \xrightarrow{N} D \xrightarrow{E} (I)$$

or a pharmaceutically acceptable salt thereof, wherein:

R<sup>1</sup> is hydrogen;

CN;

halogen; or

C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;

CN;

halogen; or

C<sub>1-6</sub> alkyl substituted with one or more fluoro;

R<sup>3</sup> is hydrogen;

C<sub>1-4</sub> alkyl; or

C<sub>3-6</sub> cycloalkyl;

A is  $A^1$ , wherein  $A^1$  is selected from the group consisting of:

phenyl;

naphthyl;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^4$ )-; and

heterobicycles containing up to 6 heteroatoms, which are the same or different

and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^4$ )-;

wherein A<sup>1</sup> is optionally substituted with one or independently from each other more of

 $A^2$ ;

 $A^3$ ;

halogen;

CN;

-N(R<sup>5</sup>R<sup>6</sup>);

-OH;

=O, where the ring is at least partially saturated;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>7</sup>; or

-CONR<sup>8</sup>R<sup>9</sup>;

-S(O)<sub>2</sub>NR<sup>8a</sup>R<sup>9a</sup>

and wherein  $R^4$ ,  $R^5$ ,  $R^6$  are independently selected from the group consisting of  $R^{7a}$ ,  $-C(O)-R^{7a}$ ,  $-C(O)O-R^{7a}$ ,  $-C(O)NR^{7a}R^{7b}$ ,  $-S(O)_2NR^{7a}R^{7b}$ , and  $S(O)_2-R^{7a}$ ;

and wherein  $R^7$ ,  $R^{7a}$ ,  $R^{7b}$ ,  $R^8$ ,  $R^8$ ,  $R^9$ ,  $R^9$  are independently hydrogen or  $C_{1-4}$  alkyl, wherein each  $C_{1-4}$  alkyl is optionally substituted with one or more substituents independently selected from the group consisting of -COOH; -OH; -NH<sub>2</sub>; -NH-C<sub>1-4</sub> alkyl; -N(C<sub>1-4</sub> alkyl)<sub>2</sub>; and C<sub>3-6</sub> cycloalkyl;

Optionally R<sup>4</sup> is a bond to directly attach A to B;

A<sup>2</sup> is selected from the group consisting of A<sup>4</sup>, -O-A<sup>4</sup> and -N(R<sup>10</sup>)-A<sup>4</sup>,

wherein  $A^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>11</sup>)-; wherein  $A^4$  is optionally substituted with one or independently from each other more of

and  $-C(O)-C_{1-4}$  alkyl;

fluoro;
chloro;
-N(R<sup>12</sup>R<sup>13</sup>)

C<sub>1-4</sub> alkyl or -O-C<sub>1-4</sub> alkyl, both optionally substituted with one or independently from each other more of fluoro or -N(R<sup>14</sup>R<sup>15</sup>);
and wherein R<sup>10</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;
and wherein R<sup>11</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl;
and -C(O)-C<sub>1-4</sub> alkyl;

 $A^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl and -N( $R^{16}$ )- $C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or independently from each other more of

fluoro;  $-N(R^{17}R^{18});$   $A^{5};$  and/or  $A^{3}$  is optionally interrupted with one or more oxygen;

and wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> are independently hydrogen or C<sub>1-4</sub>alkyl;

 $A^5$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein  $A^5$  is optionally substituted with one or independently from each other more of

fluoro; chloro;  $-N(R^{20}R^{21})$   $-C_{1-4} \text{ alkyl or } -O-C_{1-4} \text{ alkyl, both optionally substituted with one or independently from each other more of fluoro or <math display="block">-N(R^{22}R^{23});$  and wherein  $R^{19}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl

and wherein R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;

B is selected from the group consisting of -Y-Z-; -Y-Z-C(O)-; -Y-Z-O-C(O)-; -Y-Z-S(O)<sub>2</sub>-; and -Y-Z-NH-C(O)- wherein Y is a bond, -O-, -S-, -N( $\mathbb{R}^{24}$ )-, -N( $\mathbb{R}^{25}$ )-C(O)-, -C(O)-N( $\mathbb{R}^{26}$ )-, or -C(O)-;

```
Z is C<sub>1-6</sub> alkyl,
          optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)-
          and/or optionally substituted with one or independently from each other
          more of
                    halogen;
                     CN;
                     C<sub>3-6</sub> cycloalkyl;
                     -COOR<sup>28</sup>;
                    -CON(R^{29}R^{30})
          and/or optionally one chain carbon forms part of a C<sub>3-6</sub> cycloalkyl;
and wherein R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup>, R<sup>28</sup>, R<sup>29</sup>, R<sup>30</sup> are independently
          hydrogen; or
          C<sub>1-4</sub> alkyl, optionally substituted with -COOR<sup>31</sup> or -CON(R<sup>32</sup>R<sup>33</sup>)
                    wherein R<sup>31</sup>, R<sup>32</sup>, R<sup>33</sup> are independently hydrogen
                                                                                                                   or
                     C<sub>1-4</sub> alkyl;
```

```
X is =C(R^{34})- or =N-, wherein R^{34} is hydrogen;

C_{1-6} alkyl, optionally substituted with one or more fluoro; or -S(O)_2R^{35}, wherein R^{35} is selected from the group consisting of X^1, C_{1-6} alkyl, and -C_{1-6} alkyl-X^1; wherein R^{35} is optionally substituted with one or independently from each other more of fluoro; chloro;

C_{1-4} alkyl; or -O-C_{1-4} alkyl;
```

 $X^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>36</sup>)-; and wherein R<sup>36</sup> is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl and -C(O)-C<sub>1-4</sub> alkyl;

```
G is -CH(R^{37})-C(R^{38}R^{39})-;
```

```
Supplemental Preliminary Amendment U.S. Patent Application No. 10/576,698
```

```
-CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-C(R<sup>40</sup>R<sup>41</sup>)-;
wherein R<sup>37</sup>, R<sup>38</sup>, R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup> are independently
hydrogen;
C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;
C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro;
or R<sup>38</sup> and R<sup>39</sup> or R<sup>40</sup> and R<sup>41</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;
or R<sup>37</sup> and R<sup>38</sup> or R<sup>38</sup> and R<sup>40</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;
```

D is  $C_{1-6}$  alkyl, optionally interrupted with oxygen, sulfur or -N(R<sup>42</sup>)- and/or optionally substituted with halogen, CN,  $C_{3-6}$  cycloalkyl; and/or optionally one chain carbon or two vicinal carbons form part of a  $C_{3-6}$  cycloalkyl, wherein R<sup>42</sup> is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl,  $C_{3-6}$  cycloalkyl and -C(O)-C<sub>1-4</sub> alkyl;

E is E<sup>1</sup>, wherein E<sup>1</sup> is selected from the group consisting of phenyl; naphthyl;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^{43}$ )-; and

heterobicycle containing up to 6 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^{44}$ )-;

wherein E<sup>1</sup> is optionally substituted with one or independently from each other more of E<sup>2</sup>;

 $E^3$ ;

halogen;

CN;

 $-N(R^{45}R^{46});$ 

-OH;

=O, where the ring is at least partially saturated;

```
C<sub>3-6</sub> cycloalkyl;
                    -COOR<sup>47</sup>; or
                   -CONR<sup>48</sup>R<sup>49</sup>;
                   -S(O)<sub>2</sub>NR<sup>48a</sup>R<sup>49a</sup>;
         and wherein R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> are independently selected from the group consisting of
          hydrogen;
                             C<sub>1-4</sub> alkyl optionally substituted with -OH;
                              and -C(O)-C<sub>1-4</sub> alkyl optionally substituted with -OH;
         and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally
         substituted with -OH;
E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,
         wherein E<sup>4</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same
         or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-,
          -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein E<sup>4</sup> is optionally substituted with one or independently
         from each other more of
                   fluoro;
                    chloro;
                    cyano;
                    =O, where the ring is at least partially saturated;
                    -N(R^{52}R^{53});
                   C<sub>1-4</sub> alkyl; or
                    -O-C<sub>1-4</sub> alkyl;
          and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted
with -OH;
          and wherein R<sup>51</sup> is selected from the group consisting of
                   hydrogen;
                    C<sub>1-4</sub> alkyl, optionally substituted with -OH; and
                    -C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;
```

 $E^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl; -N( $R^{54}$ )- $C_{1-6}$  alkyl, wherein  $E^3$  is optionally substituted with one or independently from each other more of

fluoro; -N(R<sup>55</sup>R<sup>56</sup>); E<sup>5</sup>;

and/or E<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub>alkyl, optionally substituted with -OH;

 $E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

 $-N(R^{58}R^{59});$ 

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>58</sup>, R<sup>59</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH.

#### 2. (Previously presented) A compound of Formula (I)

$$A \xrightarrow{B} N \xrightarrow{X} G \xrightarrow{R^3} D \xrightarrow{E} (I)$$

or a pharmaceutically acceptable salt thereof, wherein:

```
R<sup>1</sup> is
        hydrogen;
        CN;
        halogen; or
        C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;
R^2 is
        hydrogen;
        halogen;
        CN;
        C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro;
        C<sub>3-6</sub> cycloalkyl; or
        O-C<sub>1-4</sub> alkyl;
R^3 is
        hydrogen;
        C<sub>1-4</sub> alkyl; or
        C<sub>3-6</sub> cycloalkyl;
        A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:
        phenyl;
        naphthyl;
        heterocycle containing up to 4 heteroatoms, which are the same or different and
                selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=,
                -N(O)= and -N(R^4)-; and
        heterobicycles containing up to 6 heteroatoms, which are the same or different
                and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=,
                -N(O) = and -N(R^4)-;
                wherein A<sup>1</sup> is optionally substituted with one or independently from each other
                more of
                A^2;
                A^3;
                halogen;
```

```
CN;
-N(R<sup>5</sup>R<sup>6</sup>);
-OH;
=O, where the ring is at least partially saturated;
C<sub>3-6</sub> cycloalkyl;
-COOR<sup>7</sup>; or
-CONR<sup>8</sup>R<sup>9</sup>;
-S(O)<sub>2</sub>NR<sup>8a</sup>R<sup>9a</sup>
```

and wherein  $R^4$ ,  $R^5$ ,  $R^6$  are independently selected from the group consisting of  $R^{7a}$ ,  $-C(O)-R^{7a}$ ,  $-C(O)O-R^{7a}$ ,  $-C(O)NR^{7a}R^{7b}$ ,  $-S(O)_2NR^{7a}R^{7b}$ , and  $S(O)_2-R^{7a}$ ;

and wherein  $R^7$ ,  $R^{7a}$ ,  $R^{7b}$ ,  $R^8$ ,  $R^8$ ,  $R^9$ ,  $R^{9a}$  are independently hydrogen or  $C_{1-4}$  alkyl, wherein each  $C_{1-4}$  alkyl is optionally substituted with one or more substituents independently selected from the group consisting of -COOH; -OH; -NH<sub>2</sub>; -NH-C<sub>1-4</sub> alkyl; -N(C<sub>1-4</sub> alkyl)<sub>2</sub>; and C<sub>3-6</sub> cycloalkyl;

Optionally R<sup>4</sup> is a bond to directly attach A to B;

A<sup>2</sup> is selected from the group consisting of A<sup>4</sup>, -O-A<sup>4</sup> and -N(R<sup>10</sup>)-A<sup>4</sup>,

wherein  $A^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>11</sup>)-; wherein  $A^4$  is optionally substituted with one or independently from each other more of

fluoro; chloro;

 $-N(R^{12}R^{13})$ 

 $C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{14}R^{15})$ ;

and wherein R<sup>10</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> are independently hydrogen or C<sub>1-4</sub> alkyl; and wherein R<sup>11</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

 $A^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl and -N( $R^{16}$ )- $C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or independently from each other more of

```
fluoro;
-N(R<sup>17</sup>R<sup>18</sup>);
A<sup>5</sup>;
and/or A<sup>3</sup> is optionally interrupted with one or more oxygen;
```

and/or A is optionally interrupted with one or more oxygand wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> are independently hydrogen or C<sub>1-4</sub>alkyl;

 $A^5$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein  $A^5$  is optionally substituted with one or independently from each other more of

```
fluoro;
chloro;
-N(R<sup>20</sup>R<sup>21</sup>)
```

 $C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{22}R^{23})$ ;

and wherein  $R^{19}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl and  $-C(O)-C_{1-4}$  alkyl; and wherein  $R^{20}$ ,  $R^{21}$ ,  $R^{22}$ ,  $R^{23}$  are independently hydrogen or  $C_{1-4}$  alkyl;

B is selected from the group consisting of -Y-Z-; -Y-Z-C(O)-; -Y-Z-O-C(O)-; -Y-Z-S(O)<sub>2</sub>-; and -Y-Z-NH-C(O)- wherein

```
Y is a bond, -O-, -S-, -N(\mathbb{R}^{24})-, -N(\mathbb{R}^{25})-C(O)-, -C(O)-N(\mathbb{R}^{26})-, or -C(O)-; Z is C<sub>1-6</sub> alkyl,
```

optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)and/or optionally substituted with one or independently from each other
more of

```
halogen;
CN;
C<sub>3-6</sub> cycloalkyl;
-COOR<sup>28</sup>;
-CON(R<sup>29</sup>R<sup>30</sup>)
```

```
and/or optionally one chain carbon forms part of a C_{3-6} cycloalkyl; and wherein R^{24}, R^{25}, R^{26}, R^{27}, R^{28}, R^{29}, R^{30} are independently hydrogen; or C_{1-4} \text{ alkyl, optionally substituted with -COOR}^{31} \text{ or -CON}(R^{32}R^{33}) wherein R^{31}, R^{32}, R^{33} are independently hydrogen or C_{1-4} \text{ alkyl;}
```

```
X is =C(R^{34})- or =N-, wherein R^{34} is hydrogen;

C_{1-6} alkyl, optionally substituted with one or more fluoro; or -S(O)_2R^{35}, wherein R^{35} is selected from the group consisting of X^1, C_{1-6} alkyl, and -C_{1-6} alkyl-X^1; wherein R^{35} is optionally substituted with one or independently from each other more of fluoro; chloro;

C_{1-4} alkyl; or -O-C_{1-4} alkyl;
```

 $X^{1}$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>36</sup>)-; and wherein R<sup>36</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

```
G is -CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-;
-CH(R<sup>37</sup>)-C(R<sup>40</sup>R<sup>41</sup>)-;
wherein R<sup>37</sup>, R<sup>38</sup>, R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup> are independently
hydrogen;
C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;
C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro;
or R<sup>38</sup> and R<sup>39</sup> or R<sup>40</sup> and R<sup>41</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;
or R<sup>37</sup> and R<sup>38</sup> or R<sup>38</sup> and R<sup>40</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;
```

```
Supplemental Preliminary Amendment U.S. Patent Application No. 10/576,698
```

```
C<sub>1-6</sub> alkyl,
D is
        optionally interrupted with oxygen, sulfur or -N(R<sup>42</sup>)-
        and/or optionally substituted with halogen, CN, C<sub>3-6</sub> cycloalkyl;
        and/or optionally one chain carbon or two vicinal carbons form part of a C<sub>3-6</sub> cycloalkyl,
        wherein R<sup>42</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl, C<sub>3-6</sub> cycloalkyl
        and -C(O)-C<sub>1-4</sub> alkyl;
        E<sup>1</sup>, wherein E<sup>1</sup> is selected from the group consisting of
E is
        naphthyl;
        non-aromatic heterocycle containing up to 4 heteroatoms, which are the same or
        different and
                 selected from the group consisting of -O-, -S-, -S(O)-, -S(O2)-, -N=,
                 -N(O) = and -N(R^{43})-; and
        heterobicycle containing up to 6 heteroatoms, which are the same or different
                 and selected from the group consisting of -O-, -S-, -S(O)-, -S(O2)-, -N=,
                 -N(O) = and -N(R^{44})-;
        wherein E<sup>1</sup> is optionally substituted with one or independently from each other more of
                 E^2;
                 halogen;
                 CN;
                 -N(R^{45}R^{46});
                 -OH;
                 =O, where the ring is at least partially saturated;
                 C<sub>3-6</sub> cycloalkyl;
                 -COOR<sup>47</sup>; or
                 -CONR<sup>48</sup>R<sup>49</sup>:
                 -S(O)<sub>2</sub>NR<sup>48a</sup>R<sup>49a</sup>;
        and wherein R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> are independently selected from the group consisting of
         hydrogen;
```

C<sub>1-4</sub> alkyl optionally substituted with -OH; and -C(O)-C<sub>1-4</sub> alkyl optionally substituted with -OH;

```
Supplemental Preliminary Amendment U.S. Patent Application No. 10/576,698
```

and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,

wherein  $E^4$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein  $E^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

 $-N(R^{52}R^{53});$ 

C<sub>1-4</sub> alkyl; or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>50</sup>, R<sup>52</sup>, R<sup>53</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

 $E^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl; -N( $R^{54}$ )- $C_{1-6}$  alkyl, wherein  $E^3$  is optionally substituted with one or independently from each other more of

fluoro;

 $-N(R^{55}R^{56});$ 

 $E^5$ :

and/or E<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub>alkyl, optionally substituted with -OH;

 $E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

 $-N(R^{58}R^{59});$ 

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein  $R^{58}$ ,  $R^{59}$  are independently hydrogen or  $C_{1-4}$  alkyl, optionally substituted with -OH.

### 3. (Previously presented) A compound of Formula (I)

$$A \xrightarrow{B} N \xrightarrow{X} R^{2} R^{3}$$

$$A \xrightarrow{B} N \xrightarrow{K} G \xrightarrow{N} D \xrightarrow{E} (I)$$

or a pharmaceutically acceptable salt thereof, wherein:

R<sup>1</sup> is hydrogen;

CN;

halogen; or

C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;

R<sup>2</sup> is hydrogen;

CN;

```
halogen;
         C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro;
         C<sub>3-6</sub> cycloalkyl; or
         O-C<sub>1-4</sub> alkyl;
R^3 is
         hydrogen;
         C<sub>1-4</sub> alkyl; or
         C<sub>3-6</sub> cycloalkyl;
         A<sup>1</sup>, wherein A<sup>1</sup> is selected from the group consisting of:
A is
         naphthyl;
         heterocycle containing up to 4 heteroatoms, which are the same or different and
                  selected from the group consisting of -S(O)-, -S(O2)- and -N(O)=; and
         heterobicycles containing up to 6 heteroatoms, which are the same or different
                  and selected from the group consisting of -O-, -S-, -S(O)-, -S(O2)-, -N=,
                  -N(O) = and -N(R^4)-;
                  wherein A<sup>1</sup> is optionally substituted with one or independently from each other
                  more of
                  A^2;
                  halogen;
                  CN;
                  -N(R^5R^6);
                  -OH;
                  =O, where the ring is at least partially saturated;
                   C<sub>3-6</sub> cycloalkyl;
                   -COOR<sup>7</sup>; or
                  -CONR<sup>8</sup>R<sup>9</sup>;
                  -S(O)<sub>2</sub>NR<sup>8a</sup>R<sup>9a</sup>
         and wherein R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup> are independently selected from the group consisting of R<sup>7a</sup>,
         -C(O)-R^{7a}, -C(O)O-R^{7a}, -C(O)NR^{7a}R^{7b}, -S(O)_2NR^{7a}R^{7b}, and S(O)_2-R^{7a};
         and wherein R<sup>7</sup>, R<sup>7a</sup>, R<sup>7b</sup>, R<sup>8</sup>, R<sup>8a</sup>, R<sup>9</sup>, R<sup>9a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl,
```

wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents

independently selected from the group consisting of -COOH; -OH; -NH<sub>2</sub>; -NH-C<sub>1-4</sub> alkyl; -N(C<sub>1-4</sub> alkyl)<sub>2</sub>; and C<sub>3-6</sub> cycloalkyl;

Optionally R<sup>4</sup> is a bond to directly attach A to B;

A<sup>2</sup> is selected from the group consisting of A<sup>4</sup>, -O-A<sup>4</sup> and -N(R<sup>10</sup>)-A<sup>4</sup>,

wherein  $A^4$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>11</sup>)-; wherein  $A^4$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

 $-N(R^{12}R^{13})$ 

 $C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{14}R^{15})$ ;

and wherein R<sup>10</sup>, R<sup>12</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup> are independently hydrogen or C<sub>1-4</sub> alkyl; and wherein R<sup>11</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

 $A^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl and -N( $R^{16}$ )- $C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or independently from each other more of

fluoro;

 $-N(R^{17}R^{18});$ 

A<sup>5</sup>;

and/or A<sup>3</sup> is optionally interrupted with one or more oxygen; and wherein R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup> are independently hydrogen or C<sub>1-4</sub>alkyl;

 $A^5$  is phenyl or a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>19</sup>)-; wherein  $A^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

```
Supplemental Preliminary Amendment U.S. Patent Application No. 10/576,698
```

```
-N(R^{20}R^{21})
```

 $C_{1-4}$  alkyl or  $-O-C_{1-4}$  alkyl, both optionally substituted with one or independently from each other more of fluoro or  $-N(R^{22}R^{23})$ ;

and wherein  $R^{19}$  is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl and  $-C(O)-C_{1-4}$  alkyl;

and wherein R<sup>20</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>23</sup> are independently hydrogen or C<sub>1-4</sub> alkyl;

B is selected from the group consisting of -Y-Z-; -Y-Z-C(O)-; -Y-Z-O-C(O)-; -Y-Z-S(O)<sub>2</sub>-; and -Y-Z-NH-C(O)- wherein

Y is a bond, -O-, -S-, -N( $R^{24}$ )-, -N( $R^{25}$ )-C(O)-, -C(O)-N( $R^{26}$ )-, or -C(O)-; Z is C<sub>1-6</sub> alkyl,

optionally interrupted with oxygen, sulfur or -N(R<sup>27</sup>)and/or optionally substituted with one or independently from each other
more of

halogen;

CN;

C<sub>3-6</sub> cycloalkyl;

-COOR<sup>28</sup>:

-CON(R<sup>29</sup>R<sup>30</sup>)

and/or optionally one chain carbon forms part of a  $C_{3-6}$  cycloalkyl; and wherein  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$ ,  $R^{27}$ ,  $R^{28}$ ,  $R^{29}$ ,  $R^{30}$  are independently

hydrogen; or

C<sub>1-4</sub> alkyl, optionally substituted with -COOR<sup>31</sup> or -CON(R<sup>32</sup>R<sup>33</sup>)

wherein  $R^{31}$ ,  $R^{32}$ ,  $R^{33}$  are independently hydrogen or  $C_{1-4}$  alkyl;

X is  $=C(R^{34})$ - or =N-, wherein  $R^{34}$  is

hydrogen;

C<sub>1-6</sub> alkyl, optionally substituted with one or more fluoro; or

 $-S(O)_2R^{35}$ , wherein  $R^{35}$  is selected from the group consisting of  $X^1$ ,  $C_{1-6}$  alkyl, and  $-C_{1-6}$  alkyl- $X^1$ ; wherein  $R^{35}$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

C<sub>1-4</sub> alkyl; or -O-C<sub>1-4</sub> alkyl;

 $X^{1}$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>36</sup>)-; and wherein R<sup>36</sup> is selected from the group consisting of hydrogen, C<sub>1-4</sub> alkyl and -C(O)-C<sub>1-4</sub> alkyl;

G is -CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-;
-CH(R<sup>37</sup>)-C(R<sup>38</sup>R<sup>39</sup>)-C(R<sup>40</sup>R<sup>41</sup>)-;
wherein R<sup>37</sup>, R<sup>38</sup>, R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup> are independently
hydrogen;
C<sub>1-4</sub> alkyl, optionally substituted with one or more fluoro;
C<sub>3-6</sub> cycloalkyl, optionally substituted with one or more fluoro;
or R<sup>38</sup> and R<sup>39</sup> or R<sup>40</sup> and R<sup>41</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;
or R<sup>37</sup> and R<sup>38</sup> or R<sup>38</sup> and R<sup>40</sup> form together C<sub>3-6</sub> cycloalkyl, optionally
substituted with one or more fluoro, -OH, C<sub>1-4</sub> alkyl;

D is  $C_{1-6}$  alkyl, optionally interrupted with oxygen, sulfur or -N(R<sup>42</sup>)- and/or optionally substituted with halogen, CN,  $C_{3-6}$  cycloalkyl; and/or optionally one chain carbon or two vicinal carbons form part of a  $C_{3-6}$  cycloalkyl, wherein R<sup>42</sup> is selected from the group consisting of hydrogen,  $C_{1-4}$  alkyl; and -C(O)-C<sub>1-4</sub> alkyl;

E is E<sup>1</sup>, wherein E<sup>1</sup> is selected from the group consisting of phenyl;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -

N(R<sup>43</sup>)-; and

naphthyl;

heterobicycle containing up to 6 heteroatoms, which are the same or different

```
and selected from the group consisting of -O-, -S-, -S(O)-, -S(O2)-, -N=,
                   -N(O)= and -N(R^{44})-;
         wherein E<sup>1</sup> is optionally substituted with one or independently from each other more of
                   E^2;
                   E^3;
                   halogen;
                   CN;
                   -N(R<sup>45</sup>R<sup>46</sup>);
                   -OH;
                   =O, where the ring is at least partially saturated;
                   C<sub>3-6</sub> cycloalkyl;
                   -COOR<sup>47</sup>; or
                   -CONR<sup>48</sup>R<sup>49</sup>:
                   -S(O)<sub>2</sub>NR<sup>48a</sup>R<sup>49a</sup>;
         and wherein R<sup>43</sup>, R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup> are independently selected from the group consisting of
         hydrogen;
                            C<sub>1-4</sub> alkyl optionally substituted with -OH;
                            and -C(O)-C₁-₄ alkyl optionally substituted with -OH;
         and wherein R<sup>47</sup>, R<sup>48</sup>, R<sup>48a</sup>, R<sup>49</sup>, R<sup>49a</sup> are independently hydrogen or C<sub>1-4</sub> alkyl, optionally
         substituted with -OH;
E<sup>2</sup> is selected from the group consisting of E<sup>4</sup>, -C(O)-E<sup>4</sup>, -O-E<sup>4</sup> and -N(R<sup>50</sup>)-E<sup>4</sup>,
         wherein E<sup>4</sup> is phenyl or heterocycle containing up to 4 heteroatoms, which are the same
         or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O2)-,
         -N=, -N(O)= and -N(R<sup>51</sup>)-; wherein E<sup>4</sup> is optionally substituted with one or independently
         from each other more of
                  fluoro;
                   chloro;
                   cyano;
                   =O, where the ring is at least partially saturated;
                   -N(R^{52}R^{53});
                   C<sub>1-4</sub> alkyl; or
                   -O-C<sub>1-4</sub> alkyl;
```

and wherein  $R^{50}$ ,  $R^{52}$ ,  $R^{53}$  are independently hydrogen or  $C_{1-4}$  alkyl, optionally substituted with -OH;

and wherein R<sup>51</sup> is selected from the group consisting of

hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

 $E^3$  is selected from the group consisting of  $C_{1-6}$  alkyl, -O- $C_{1-6}$  alkyl; -N( $R^{54}$ )- $C_{1-6}$  alkyl, wherein  $E^3$  is optionally substituted with one or independently from each other more of

fluoro;

 $-N(R^{55}R^{56});$ 

E<sup>5</sup>:

and/or E<sup>3</sup> is optionally interrupted with one or more oxygen;

and wherein R<sup>54</sup>, R<sup>55</sup>, R<sup>56</sup> are independently hydrogen or C<sub>1-4</sub>alkyl, optionally substituted with -OH;

 $E^5$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>57</sup>)-; wherein  $E^5$  is optionally substituted with one or independently from each other more of

fluoro;

chloro;

cyano;

=O, where the ring is at least partially saturated;

 $-N(R^{58}R^{59});$ 

C<sub>1-4</sub> alkyl or

-O-C<sub>1-4</sub> alkyl;

and wherein R<sup>57</sup> is independently selected from the group consisting of hydrogen;

C<sub>1-4</sub> alkyl, optionally substituted with -OH; and

-C(O)-C<sub>1-4</sub> alkyl, optionally substituted with -OH;

and wherein  $R^{58}$ ,  $R^{59}$  are independently hydrogen or  $C_{1-4}$  alkyl, optionally substituted with -OH.

- 4. (Previously presented) The compound of claim 1, wherein R<sup>1</sup> is hydrogen.
- 5. (Previously presented) The compound of claim 1, wherein R<sup>2</sup> is hydrogen, chloro, -CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>
- 6. (Previously presented) The compound of claim 1, wherein R<sup>3</sup> is hydrogen.
- 7. (Previously presented) The compound of claim 1, wherein  $A^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-, wherein R<sup>4</sup> has the meaning as indicated in claim 1.
- 8. (Original) A compound according to claim 7, wherein A<sup>1</sup> is selected from the group consisting of phenyl, pyridine, pyridine-N oxide, piperidine, morpholine, and pyrrolidine.
- 9. (Previously presented) The compound of claim 1, wherein  $R^4$  is a bond, -COOC<sub>1-4</sub> alkyl, methyl, ethyl, 2-hydroxyethyl, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COO-C<sub>1-4</sub> alkyl or cyclopropylmethyl and wherein  $A^1$  is optionally substituted with up to 4 F.
- 10. (Previously presented) The compound of claim 1, wherein B is -Y-Z-.
- 11. (Previously presented) The compound of claim 1, wherein Y is a bond, -O-, -NH-,  $-S(O)_2$  or-C(O)-.
- 12. (Previously presented) The compound of claim 1, wherein Z is  $-C(R^{60}R^{61})$  or  $-C(R^{60}R^{61})$   $C(R^{62}R^{63})$ -, wherein

R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, -C(O)NH<sub>2</sub>, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-C(O)NH<sub>2</sub>, fluoro, methyl, cyclopropyl or

R<sup>60</sup> and R<sup>61</sup> form a cyclopropyl ring or

R<sup>62</sup> and R<sup>63</sup> form a cyclopropyl ring or

R<sup>60</sup> and R<sup>62</sup> form a cyclopropyl or cyclobutyl ring.

- Supplemental Preliminary Amendment U.S. Patent Application No. 10/576,698
- 13. (Original) A compound according to claim 12, wherein R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, fluoro or -C(O)NH<sub>2</sub>.
- 14. (Previously presented) The compound of claim 1, wherein X is =N-.
- 15. (Previously presented) The compound of claim 1, wherein G is -CH( $R^{64}$ )-C( $R^{65}R^{66}$ )-; wherein  $R^{64}$ ,  $R^{65}$ ,  $R^{66}$  are independently hydrogen, F, methyl, -CH<sub>2</sub>F, -CHF<sub>2</sub>, CF<sub>3</sub> or cyclopropyl or  $R^{65}$ ,  $R^{66}$  form together cyclopropyl.
- 16. (Previously presented) The compound of claim 1, wherein G is -CH<sub>2</sub>-CH<sub>2</sub>-.
- 17. (Previously presented) The compound of claim 1, wherein D is  $-CH_{2^-}$ ,  $-CF_{2^-}$ ,  $-CH(CH_3)_-$ ,  $-C(CH_3)_2$  or  $D^1-D^2$ , where  $D^1$  and  $D^2$  are independently  $-CH_{2^-}$ ,  $-CF_{2^-}$ ,  $-CH(CH_3)_-$  or  $-C(CH_3)_2$  and wherein  $D^2$  is optionally  $-CH_2$ -NH-.
- 18. (Original) A compound according to claim 17, wherein D is -CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CF<sub>2</sub> or -CH<sub>2</sub>-CH<sub>2</sub>-NH-.
- 19. (Previously presented) The compound of claim 1, wherein -E is selected from the group consisting of phenyl; heterocycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, -N(O)- and -NH-, and heterobicycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, and -NH-; and wherein E is optionally substituted with up to two substituents which are the same or different and selected from the group consisting of CN, F, CI, C<sub>1-4</sub> alkyl, OH, O-C<sub>1-4</sub> alkyl, NH<sub>2</sub>, NH-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)<sub>2</sub>, C(O)NH<sub>2</sub>, C(O)NH-C<sub>1-4</sub> alkyl, and C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents independently selected from OH and F.
- 20. (Original) A compound according to claim 19, wherein -E is phenyl, pyridine, benzimidazole, indazole, quinoline, isoquinoline, pyridine-(N)-oxide, benzothiophene, indole, azaindole, benzofuran, benzisoxazole, benzoxazole, benzothiazole.

# 21. (Previously presented) The compound of claim 1, wherein -E is selected from the group consisting of

wherein

T and V are independently =CH-, =CR<sup>71</sup>-, =N- or =N(O)-;

U is -NH-, -NR<sup>72</sup>-, -O-, or -S-, wherein

R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of hydrogen;

C<sub>3-6</sub> cycloalkyl;

E<sup>6</sup>;

```
E^{7};
halogen;
CN;
-N(R^{73}R^{74});
-OH; and
-COOR^{75} \text{ or } -C(O)NR^{76}R^{77};
and \text{ wherein } R^{72}, R^{73}, R^{74}, R^{75}, R^{76}, R^{77} \text{ are independently hydrogen;}
C_{1-4} \text{ alkyl; or}
-C(O)-C_{1-4} \text{ alkyl;}
```

 $E^6$  is selected from the group consisting of  $C_{1-6}$  alkyl; -O- $C_{1-6}$  alkyl; and -N( $R^{78}$ )- $C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or more of

halogen;

CN;

 $-N(R^{79}R^{80});$ 

phenyl, optionally substituted with chloro;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^{81}$ )-, optionally substituted with chloro;

and/or E<sup>6</sup> is optionally interrupted by one or more of oxygen;

and wherein R<sup>78</sup>, R<sup>79</sup>, R<sup>80</sup>, R<sup>81</sup> are independently hydrogen, C<sub>1-4</sub>alkyl;

 $E^7$  is selected from the group consisting of  $E^8$ ;  $-O-E^8$ ;  $-N(R^{82})-E^8$ ; and  $-C(O)-E^8$ , wherein  $E^8$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-,  $-S(O_2)-$ , -N=, -N(O)= and  $-N(R^{83})-$ ; and wherein  $E^8$  is optionally substituted with chloro or  $-N(R^{84}R^{85})$ ;

and wherein R<sup>82</sup>, R<sup>83</sup>, R<sup>84</sup>, R<sup>85</sup> are independently hydrogen or C<sub>1-4</sub> alkyl.

22. (Original) A compound according to claim 21, wherein R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of hydrogen, fluoro, chloro, cyano, phenyl, chlorophenyl, methyl, methoxy, amino, monomethyl amino, dimethyl amino, pyrrolyl, diazolyl, triazolyl, and tetrazolyl.

### 23. (Original) A compound selected from the group consisting of:

### 24. (Canceled)

25. (Previously presented) A pharmaceutical composition comprising a compound or a mixture of compounds or a pharmaceutically acceptable salt thereof according to claim 1 together with a pharmaceutically acceptable carrier.

#### 26. (Canceled)

27. (Previously presented) The pharmaceutical composition according to claim 25, additionally comprising one or more known anticoagulants.

#### 28. (Canceled)

### 29. (Canceled)

30. (Previously presented) A method for the treatment or prophylaxis of thromboembolism, thrombosis, artherosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, or reocclusion or restenosis of

recanalized vessels, comprising administering to a patient a composition comprising the compound of claim 1.

- 31. (Canceled)
- 32. (Canceled)
- 33. (Previously presented) A method of treating a patient in need of an anticoagulant or thrombin inhibitor comprising administering a composition comprising the compound of claim 1 to said patient.
- 34. (New) The compound of claim 2, wherein R<sup>1</sup> is hydrogen.
- 35. (New) The compound of claim 2, wherein R<sup>2</sup> is hydrogen, chloro, -CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH
- 36. (New) The compound of claim 2, wherein R<sup>3</sup> is hydrogen.
- 37. (New) The compound of claim 2, wherein  $A^1$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-, wherein R<sup>4</sup> has the meaning as indicated in claim 2.
- 38. (New) The compound according to claim 37, wherein A<sup>1</sup> is selected from the group consisting of phenyl, pyridine, pyridine-N oxide, piperidine, morpholine, and pyrrolidine.
- 39. (New) The compound of claim 2, wherein  $R^4$  is a bond, -COOC<sub>1-4</sub> alkyl, methyl, ethyl, 2-hydroxyethyl, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COO-C<sub>1-4</sub> alkyl or cyclopropylmethyl and wherein  $A^1$  is optionally substituted with up to 4 F.
- 40. (New) The compound of claim 2, wherein B is -Y-Z-.
- 41. (New) The compound of claim 2, wherein Y is a bond, -O-, -NH-, -S(O)<sub>2</sub>- or-C(O)-.

42. (New) The compound of claim 2, wherein Z is  $-C(R^{60}R^{61})$ - or  $-C(R^{60}R^{61})$ -C( $R^{62}R^{63}$ )-, wherein

R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, -C(O)NH<sub>2</sub>, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-C(O)NH<sub>2</sub>, fluoro, methyl, cyclopropyl or

R<sup>60</sup> and R<sup>61</sup> form a cyclopropyl ring or

R<sup>62</sup> and R<sup>63</sup> form a cyclopropyl ring or

R<sup>60</sup> and R<sup>62</sup> form a cyclopropyl or cyclobutyl ring.

- 43. (New) The compound according to claim 42, wherein  $R^{60}$ ,  $R^{61}$ ,  $R^{62}$ ,  $R^{63}$  are independently hydrogen, fluoro or -C(O)NH<sub>2</sub>.
- 44. (New) The compound of claim 2, wherein X is =N-.
- 45. (New) The compound of claim 2, wherein G is -CH(R<sup>64</sup>)-C(R<sup>65</sup>R<sup>66</sup>)-; wherein R<sup>64</sup>, R<sup>65</sup>, R<sup>66</sup> are independently hydrogen, F, methyl, -CH<sub>2</sub>F, -CHF<sub>2</sub>, CF<sub>3</sub> or cyclopropyl or R<sup>65</sup>, R<sup>66</sup> form together cyclopropyl.
- 46. (New) The compound of claim 2, wherein G is -CH<sub>2</sub>-CH<sub>2</sub>-.
- 47. (New) The compound of claim 2, wherein D is  $-CH_2$ -,  $-CF_2$ -,  $-CH(CH_3)$ -,  $-C(CH_3)_2$  or  $D^1$ - $D^2$ , where  $D^1$  and  $D^2$  are independently  $-CH_2$ -,  $-CF_2$ -,  $-CH(CH_3)$  or  $-C(CH_3)_2$  and wherein  $D^2$  is optionally  $-CH_2$ -NH-.
- 48. (New) The compound according to claim 47, wherein D is -CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CF<sub>2</sub> or -CH<sub>2</sub>-CH<sub>2</sub>-NH-.
- 49. (New) The compound of claim 2, wherein -E is selected from the group consisting of a heterocycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, -N(O)- and -NH-; and heterobicycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, and -NH-; and wherein E is optionally substituted with up to two substituents which are the same or different and selected from the group consisting of CN, F, CI, C<sub>1-4</sub> alkyl, OH, O-C<sub>1-4</sub>

alkyl, NH<sub>2</sub>, NH-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)<sub>2</sub>, C(O)NH<sub>2</sub>, C(O)NH-C<sub>1-4</sub> alkyl, and C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents independently selected from OH and F.

50. (New) The compound according to claim 49, wherein -E is pyridine, benzimidazole, indazole, quinoline, isoquinoline, pyridine-(N)-oxide, benzothiophene, indole, azaindole, benzofuran, benzisoxazole, benzoxazole, benzothiazole.

51. (New) The compound of claim 2, wherein -E is selected from the group consisting of

wherein

```
T and V are independently =CH-, =CR<sup>71</sup>-, =N- or =N(O)-;
U is -NH-, -NR<sup>72</sup>-, -O-, or -S-, wherein
R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of
hydrogen;
            C<sub>3-6</sub> cycloalkyl;
           E^6;
           E^7;
           halogen;
           CN;
           -N(R^{73}R^{74});
           -OH; and
            -COOR<sup>75</sup> or -C(O)NR<sup>76</sup>R<sup>77</sup>;
and wherein R<sup>72</sup>, R<sup>73</sup>, R<sup>74</sup>, R<sup>75</sup>, R<sup>76</sup>, R<sup>77</sup> are independently
           hydrogen;
           C<sub>1-4</sub> alkyl; or
           -C(O)-C<sub>1-4</sub> alkyl;
```

E<sup>6</sup> is selected from the group consisting of C<sub>1-6</sub> alkyl; -O-C<sub>1-6</sub> alkyl; and -N(R<sup>78</sup>)-C<sub>1-6</sub> alkyl, wherein the C<sub>1-6</sub> alkyl group is optionally substituted with one or more of halogen;

CN;

 $-N(R^{79}R^{80});$ 

phenyl, optionally substituted with chloro;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^{81}$ )-, optionally substituted with chloro;

and/or E<sup>6</sup> is optionally interrupted by one or more of oxygen;

and wherein R<sup>78</sup>, R<sup>79</sup>, R<sup>80</sup>, R<sup>81</sup> are independently hydrogen, C₁₄alkyl;

 $E^7$  is selected from the group consisting of  $E^8$ ;  $-O-E^8$ ;  $-N(R^{82})-E^8$ ; and  $-C(O)-E^8$ , wherein  $E^8$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-,  $-S(O_2)-$ , -N=, -N(O)= and  $-N(R^{83})-$ ; and wherein  $E^8$  is optionally substituted with chloro or  $-N(R^{84}R^{85})$ ;

and wherein R<sup>82</sup>, R<sup>83</sup>, R<sup>84</sup>, R<sup>85</sup> are independently hydrogen or C<sub>1-4</sub> alkyl.

- 52. (New) The compound according to claim 51, wherein R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of hydrogen, fluoro, chloro, cyano, phenyl, chlorophenyl, methyl, methoxy, amino, monomethyl amino, dimethyl amino, pyrrolyl, diazolyl, triazolyl, and tetrazolyl.
- 53. (New) The compound of claim 3, wherein R<sup>1</sup> is hydrogen.
- 54. (New) The compound of claim 3, wherein R<sup>2</sup> is hydrogen, chloro, -CH<sub>3</sub>, -CH<sub>2</sub>-CH<sub>3</sub>, -CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-CH<sub>3</sub>-
- 55. (New) The compound of claim 3, wherein R<sup>3</sup> is hydrogen.
- 56. (New) The compound of claim 3, wherein  $A^1$  is a heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N(R<sup>4</sup>)-, wherein R<sup>4</sup> has the meaning as indicated in claim 3.
- 57. (New) The compound according to claim 56, wherein A<sup>1</sup> is selected from the group consisting of pyridine, pyridine-N oxide, piperidine, morpholine, and pyrrolidine.
- 58. (New) The compound of claim 3, wherein  $R^4$  is a bond, -COOC<sub>1-4</sub> alkyl, methyl, ethyl, 2-hydroxyethyl, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COO-C<sub>1-4</sub> alkyl or cyclopropylmethyl and wherein  $A^1$  is optionally substituted with up to 4 F.
- 59. (New) The compound of claim 3, wherein B is -Y-Z-.
- 60. (New) The compound of claim 3, wherein Y is a bond, -O-, -NH-, -S(O)<sub>2</sub>- or-C(O)-.
- 61. (New) The compound of claim 3, wherein Z is  $-C(R^{60}R^{61})$  or  $-C(R^{60}R^{61})$ -C( $R^{62}R^{63}$ )-, wherein
  - R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, -C(O)NH<sub>2</sub>, -COOH, -CH<sub>2</sub>-COOH, -CH<sub>2</sub>-C(O)NH<sub>2</sub>, fluoro, methyl, cyclopropyl or

R<sup>60</sup> and R<sup>61</sup> form a cyclopropyl ring or R<sup>62</sup> and R<sup>63</sup> form a cyclopropyl ring or R<sup>60</sup> and R<sup>62</sup> form a cyclopropyl or cyclobutyl ring.

- 62. (New) The compound according to claim 61, wherein R<sup>60</sup>, R<sup>61</sup>, R<sup>62</sup>, R<sup>63</sup> are independently hydrogen, fluoro or -C(O)NH<sub>2</sub>.
- 63. (New) The compound of claim 3, wherein X is =N-.
- 64. (New) The compound of claim 3, wherein G is -CH( $R^{64}$ )-C( $R^{65}R^{66}$ )-; wherein  $R^{64}$ ,  $R^{65}$ ,  $R^{66}$  are independently hydrogen, F, methyl, -CH<sub>2</sub>F, -CHF<sub>2</sub>, CF<sub>3</sub> or cyclopropyl or  $R^{65}$ ,  $R^{66}$  form together cyclopropyl.
- 65. (New) The compound of claim 3, wherein G is -CH2-CH2-.
- 66. (New) The compound of claim 3, wherein D is  $-CH_2$ -,  $-CF_2$ -,  $-CH(CH_3)$ -,  $-C(CH_3)_2$  or  $D^1$ - $D^2$ , where  $D^1$  and  $D^2$  are independently  $-CH_2$ -,  $-CF_2$ -,  $-CH(CH_3)$  or  $-C(CH_3)_2$  and wherein  $D^2$  is optionally  $-CH_2$ -NH-.
- 67. (New) A compound according to claim 66, wherein D is -CH<sub>2</sub>-, -CH(CH<sub>3</sub>)-, -CH<sub>2</sub>-CH<sub>2</sub>-, -CH<sub>2</sub>-CF<sub>2</sub> or -CH<sub>2</sub>-CH<sub>2</sub>-NH-.
- 68. (New) The compound of claim 3, wherein -E is selected from the group consisting of phenyl; heterocycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, -N(O)- and -NH-; and heterobicycle containing up to three heteroatoms, which are the same or different and selected from the group consisting of -O-, -N=, and -NH-; and wherein E is optionally substituted with up to two substituents which are the same or different and selected from the group consisting of CN, F, CI, C<sub>1-4</sub> alkyl, OH, O-C<sub>1-4</sub> alkyl, NH<sub>2</sub>, NH-C<sub>1-4</sub> alkyl, N(C<sub>1-4</sub> alkyl)<sub>2</sub>, C(O)NH<sub>2</sub>, C(O)NH-C<sub>1-4</sub> alkyl, and C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, wherein each C<sub>1-4</sub> alkyl is optionally substituted with one or more substituents independently selected from OH and F.

69. (New) The compound according to claim 68, wherein -E is phenyl, pyridine, benzimidazole, indazole, quinoline, isoquinoline, pyridine-(N)-oxide, benzothiophene, indole, azaindole, benzofuran, benzisoxazole, benzoxazole, benzothiazole.

70. (New) The compound of claim 3, wherein -E is selected from the group consisting of

wherein

T and V are independently =CH-, =CR<sup>71</sup>-, =N- or =N(O)-;

U is -NH-, -NR<sup>72</sup>-, -O-, or -S-, wherein

R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of

```
hydrogen; C_{3\text{-}6} \text{ cycloalkyl}; E^6; E^7; \text{halogen;} \text{CN;} \text{-N(R}^{73}\text{R}^{74}); \text{-OH; and} \text{-COOR}^{75} \text{ or -C(O)NR}^{76}\text{R}^{77}; and wherein \text{R}^{72}, \text{R}^{73}, \text{R}^{74}, \text{R}^{75}, \text{R}^{76}, \text{R}^{77} are independently hydrogen; C_{1\text{-}4} \text{ alkyl; or} \text{-C(O)-C}_{1\text{-}4} \text{ alkyl;}
```

 $E^6$  is selected from the group consisting of  $C_{1-6}$  alkyl; -O- $C_{1-6}$  alkyl; and -N( $R^{78}$ )- $C_{1-6}$  alkyl, wherein the  $C_{1-6}$  alkyl group is optionally substituted with one or more of

CN;

 $-N(R^{79}R^{80});$ 

halogen;

phenyl, optionally substituted with chloro;

heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-, -S(O<sub>2</sub>)-, -N=, -N(O)= and -N( $\mathbb{R}^{81}$ )-, optionally substituted with chloro;

and/or E<sup>6</sup> is optionally interrupted by one or more of oxygen;

and wherein R<sup>78</sup>, R<sup>79</sup>, R<sup>80</sup>, R<sup>81</sup> are independently hydrogen, C<sub>1-4</sub>alkyl;

 $E^7$  is selected from the group consisting of  $E^8$ ;  $-O-E^8$ ;  $-N(R^{82})-E^8$ ; and  $-C(O)-E^8$ , wherein  $E^8$  is phenyl or heterocycle containing up to 4 heteroatoms, which are the same or different and selected from the group consisting of -O-, -S-, -S(O)-,  $-S(O_2)-$ , -N=, -N(O)= and  $-N(R^{83})-$ ; and wherein  $E^8$  is optionally substituted with chloro or  $-N(R^{84}R^{85})$ ;

and wherein R<sup>82</sup>, R<sup>83</sup>, R<sup>84</sup>, R<sup>85</sup> are independently hydrogen or C<sub>1-4</sub> alkyl.

- 71. (New) The compound according to claim 70, wherein R<sup>67</sup>, R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> are independently selected from the group consisting of hydrogen, fluoro, chloro, cyano, phenyl, chlorophenyl, methyl, methoxy, amino, monomethyl amino, dimethyl amino, pyrrolyl, diazolyl, triazolyl, and tetrazolyl.
- 72. (New) A prodrug of a compound according to claim 1.
- 73. (New) A prodrug of a compound according to claim 2.
- 74. (New) A prodrug of a compound according to claim 3.
- 75. (New) A pharmaceutical composition comprising at least one prodrug of claim 72 and a pharmaceutically acceptable carrier.
- 76. (New) A pharmaceutical composition comprising at least one prodrug of claim 73 and a pharmaceutically acceptable carrier.
- 77. (New) A pharmaceutical composition comprising at least one prodrug of claim 74 and a pharmaceutically acceptable carrier.
- 78. (New) A method for the treatment or prophylaxis of thromboembolism, thrombosis, artherosclerosis, unstable angina, refractory angina, myocardial infarction, transient ischemic attacks, atrial fibrillation, thrombotic stroke, embolic stroke, deep vein thrombosis, disseminated intravascular coagulation, ocular build up of fibrin, or reocclusion or restenosis of recanalized vessels, comprising administering to a patient a composition comprising the prodrug of claim 72.
- 79. (New) The prodrug of claim 72, wherein an amino group in Formula (I) is acylated, alkylated, or phosphorylated to form said prodrug.
- 80. (New) The prodrug of claim 73, wherein an amino group in Formula (I) is acylated, alkylated, or phosphorylated to form said prodrug.

81. (New) The prodrug of claim 74, wherein an amino group in Formula (I) is acylated, alkylated, or phosphorylated to form said prodrug.